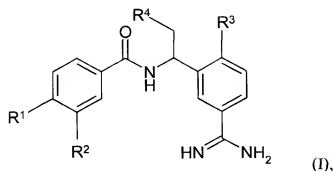


What is claimed is:

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1. A compound of the formula I



10 wherein:

R^1 denotes an amino, C_{1-5} -alkylamino, C_{3-7} -cycloalkylamino or (phenyl- C_{1-3} -alkyl)-amino group which may be substituted in each case at the amino-nitrogen atom by a phenylcarbonyl or phenylsulphonyl group or by a C_{1-3} -alkyl or C_{1-3} -alkyl-carbonyl group optionally substituted in the alkyl moiety by a carboxy group, a group which may be converted in-vivo into a carboxy group, an amino, C_{1-3} -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group, while two nitrogen atoms are separated from each other by at least two carbon atoms,

20 a di- $(C_{1-5}$ -alkyl)amino or N- $(C_{3-7}$ -cycloalkyl)- C_{1-5} -alkylamino group, while the C_{1-5} -alkyl moiety may be substituted in each case by a hydroxy, C_{1-3} -alkoxy, amino, C_{1-3} -alkyl-amino or di- $(C_{1-3}$ -alkyl)-amino group, with the exception of the 1 position,

25 a 4- to 7-membered cycloalkyleneiminocarbonyl or cycloalkyleneiminosulphonyl group optionally substituted by a C_{1-3} -alkyl, amino- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, di-

(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl, aminocarbonyl, C₁₋₃-alkylamino-carbonyl or di-(C₁₋₃-alkyl)-aminocarbonyl group,

a 2,5-dihydro-1*H*-pyrrol-1-yl-carbonyl group,

5

an aminosulphonyl group optionally substituted by one or two C₁₋₃-alkyl groups,

a C₃₋₇-cycloalkyl-carbonyl group, while

10 the methylene group in the 3 or 4 position of a C₅₋₇-cycloalkyl-carbonyl group may be replaced by a -NH group wherein

the hydrogen atom of the -NH group may be replaced by a C₁₋₃-alkyl or C₁₋₃-alkyl-carbonyl group,

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a phenylcarbonyl or heteroarylcarbonyl group,

which may be substituted in the phenyl or heteroaryl moiety by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkyl-amino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or C₁₋₃-alkoxy group,

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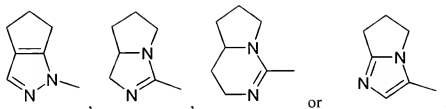
a C₁₋₃-alkyl group optionally monosubstituted by an amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-amino, hydroxy, phenyl or a 4- to 7-membered cycloalkyleneimino group,

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while the phenyl moiety may be substituted by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkyl-amino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or C₁₋₃-alkoxy group,

or a group of formula

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wherein in the heterocyclic moiety a hydrogen atom may be replaced by an aminomethyl or aminocarbonyl group in each case,

5

R^2 denotes a hydrogen, fluorine, chlorine or bromine atom, a C_{1-3} -alkyl group wherein the hydrogen atoms may be wholly or partially replaced by fluorine atoms, a C_{2-3} -alkenyl, C_{1-3} -alkoxy or trifluoromethoxy group,

10 R^3 denotes a hydrogen atom or a hydroxy or amino group and

R^4 denotes a phenyl or heteroaryl group which is optionally substituted by a hydroxy, C_{1-4} -alkyloxy, benzyloxy, hydroxycarbonyl- C_{1-3} -alkoxy, C_{1-3} -alkyloxy-carbonyl- C_{1-3} -alkyloxy, aminocarbonyl- C_{1-3} -alkoxy, C_{1-3} -alkylaminocarbonyl- C_{1-3} -alkoxy, di- $(C_{1-3}$ -alkyl)-aminocarbonyl- C_{1-3} -alkoxy, carboxy, C_{1-3} -alkyloxy-carbonyl group,

15

a 1-H-pyridonyl or 1- $(C_{1-3}$ -alkyl)-pyridonyl group,

a 4- to 7-membered cycloalkyleneimino group or

20

a 4- to 7-membered cycloalkyl group wherein one or two methylene groups are replaced by an -NH or -N(C_{1-3} -alkyl)- group and wherein one or two of the methylene groups adjacent to the -NH or -N(C_{1-3} -alkyl)- group may each be replaced by a carbonyl group, with the proviso that a cycloalkyl group as hereinbefore defined wherein two -NH or -N(C_{1-3} -alkyl)- groups are separated from one another by precisely one -CH₂- group is excluded,

25

while, unless otherwise stated, the term heteroaryl group denotes a monocyclic 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by a C₁₋₃-alkyl, carboxy, C₁₋₃-alkoxy-carbonyl or C₁₋₃-alkoxy-carbonylamino group, while

5 the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

the 5-membered heteroaryl group contains an imino group optionally substituted by a C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group, an oxygen or sulphur atom or

10 contains an imino group optionally substituted by a C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group or an oxygen or sulphur atom and additionally contains a nitrogen atom or

contains an imino group optionally substituted by a C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl
15 group and two or three nitrogen atoms,

and moreover a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms and the binding takes place via a nitrogen atom or via a carbon atom of the heterocyclic moiety or a fused-on phenyl
20 ring,

while the amidino group contained in the compounds of general formula I may be substituted by a C₁₋₁₀-alkoxy-carbonyl, 2,2,2-trichloroethoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, phenylcarbonyl, hydroxy, C₁₋₅-alkyloxy,
25 benzyloxy or phenyloxy group,

and while the abovementioned alkyl and alkoxy groups include straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

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or a tautomer or pharmaceutically acceptable salt thereof.

2. A compound of the formula I according to claim 1, wherein

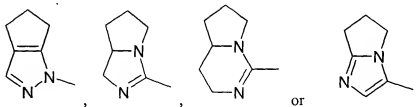
5

R^2 , R^3 and R^4 are defined as in claim 1 and

R^1 denotes a 4- to 7-membered cycloalkyleneimino-carbonyl group optionally substituted
by a C_{1-3} -alkyl, amino- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, di- $(C_{1-3}$ -alkyl)-
10 amino- C_{1-3} -alkyl, aminocarbonyl, C_{1-3} -alkylamino-carbonyl or di- $(C_{1-3}$ -alkyl)-
aminocarbonyl group,

a 2,5-dihydro-1*H*-pyrrol-1-ylcarbonyl group or

15 a group of formula



wherein in the heterocyclic moiety a hydrogen atom may be replaced in each case
20 by an aminomethyl or aminocarbonyl group,

while the amidino group contained in the compounds of general formula I may be
substituted by a C_{1-10} -alkoxy-carbonyl, 2,2,2-trichloroethoxycarbonyl,
phenyloxycarbonyl, benzyloxycarbonyl, phenylcarbonyl, hydroxy, C_{1-3} -alkyloxy,
25 benzyloxy or phenyloxy group,

the abovementioned alkyl and alkoxy groups including straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

5 or a tautomer or pharmaceutically acceptable salt thereof.

3. A compound of the formula I according to claim 2, wherein

10 R^1 , R^2 and R^3 are defined as in claim 2 and

R^4 denotes a phenyl, furanyl, thienyl, pyrrolyl, pyrazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, thiazolyl, tetrazolyl or isoxazolyl group which is optionally substituted by a hydroxy, C_{1-4} -alkyloxy, benzyloxy, hydroxycarbonyl- C_{1-3} -alkoxy, C_{1-3} -alkyloxy-carbonyl- C_{1-3} -alkyloxy, aminocarbonyl- C_{1-3} -alkyloxy, C_{1-3} -alkylaminocarbonyl- C_{1-3} -alkyloxy, di- $(C_{1-3}$ -alkyl)-aminocarbonyl- C_{1-3} -alkyloxy, carboxy, C_{1-3} -alkyloxy-carbonyl group,

while the amidino group contained in the compounds of general formula I may be substituted by a C_{1-10} -alkoxy-carbonyl, 2,2,2-trichloroethoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, phenylcarbonyl, hydroxy, C_{1-5} -alkyloxy, benzyloxy or phenyloxy group,

the abovementioned alkyl and alkoxy groups including straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

or a tautomer or pharmaceutically acceptable salt thereof.

30 4. A compound selected from the group consisting of:

(a) N-[1-(3-amidino-phenyl)-2-(1H-tetrazol-5-yl)-ethyl]-4-(2,5-dihydro-pyrrol-1-yl-carbonyl)-3-methyl-benzamide,

(b) N-[1-(3-amidino-phenyl)-2-(1H-tetrazol-5-yl)-ethyl]-3-methyl-4-(pyrrolidin-1-yl-carbonyl)-benzamide,

(c) N-[1-(5-amidino-2-hydroxy-phenyl)-2-phenyl-ethyl]-3-methyl-4-(pyrrolidin-1-yl-carbonyl)-benzamide, and

(d) N-[1-(5-amidino-2-hydroxy-phenyl)-2-(pyridin-3-yl)-ethyl]-3-methyl-4-(pyrrolidin-1-yl-carbonyl)-benzamide,

or an analog of compound (a), (b) or (c) wherein the amidino group is substituted by a hydroxy, C₁₋₃-alkyloxy, C₁₋₈-alkoxy-carbonyl or phenylcarbonyl group,

or a pharmaceutically acceptable salt thereof.

5. A pharmaceutical composition comprising a compound in accordance with claim 1, 2, 3 or 4 together with one or more inert carriers and/or diluents.

6. A method for treating or inhibiting thrombus formation which comprises administering to a host in need of antithrombotic treatment or at risk of thrombus formation inhibition an antithrombotic amount of a compound in accordance with claim 1, 2, 3 or 4.